WHAT IS CLAIMED IS:

1. A uPAR-targeting protein or peptide which

k(a) is diagnostically or therapeutically labeled;

(b) comprises at least 38 amino acid residues;

(c) includes residues 13-30 of the uPAR-binding site of uPA;

(d) competes with labeled DFP-uPA for binding to a cell or molecule that has a binding site for uPA, and has an IC_{50} value of about 10 nM or less; and

(e) is not a fusion protein wherein the uPA peptide is fused to another non-uPA protein or peptide.

2. The protein or peptide of claim 1 selected from the group consisting of:

(a) uPA;

(b) scuPA;

(c) tcuPA;

(d) an N-terminal fragment of uPA, residues 1-135;

(e) an N-terminal fragment of uPA, residues 1-143;

(f) an N-terminal fragment of uPA, residues 1-43; and

(g) an N-terminal fragment of uPA, residues 4-43.

3. A diagnostically useful uPAR-targeting composition comprising:

(a) the protein or peptide of claim 1 which is diagnostically labeled with a detectable label; and

(b) a diagnostically acceptable carrier.

4. A diagnostically useful uPAR-targeting composition comprising:

(a) the protein or peptide of claim 2 which is diagnostically labeled with a detectable label; and

(b) a diagnostically acceptable carrier.

5. The protein, peptide or composition of any of claims 1-4 wherein said label is bound to the protein or peptide through one or more diethylenetriaminepentaacetic acid residues that are coupled to the protein or peptide.

6. The composition of claim 3 or 4 wherein the detectable label is a radionuclide, a PET-imageable agent, an MRI-imageable agent, a fluorescer, a fluorogen, a chromophore, a chromogen, a phosphorescer, a chemiluminescer or a bioluminescer.

7. The composition of claim 5 wherein the detectable label is a radionuclide, a PET-imageable agent, an MRI-imageable agent, a fluorescer, a fluorogen, a chromophore and a chromogen.

8. The composition of claim 3 or 4 wherein the detectable label is a radionuclide selected from the group consisting of ^{3}H, ^{14}C, ^{35}S, ^{67}Ga, ^{68}Ga, ^{72}As, ^{89}Zr, ^{97}Ru, ^{99}Tc, ^{111}In, ^{123}I, ^{125}I, ^{131}I, ^{169}Yb and ^{201}Tl.

9. The composition of claim 7 wherein the detectable label is a radionuclide selected from the group consisting of ^{3}H, ^{14}C, ^{35}S, ^{67}Ga, ^{68}Ga, ^{72}As, ^{89}Zr, ^{97}Ru, ^{99}Tc, ^{111}In, ^{123}I, ^{125}I, ^{131}I, ^{169}Yb and ^{201}Tl.

10. The composition of claim 3 or 4, wherein the detectable label is a fluorescer or fluorogen selected from the group consisting of fluorescein, rhodamine, dansyl, phycoerythrin, phycocyanin, allophycocyanin, *o*-phthaldehyde, fluorescamine, a fluorescein derivative, Oregon Green, Rhodamine Green, Rhodol Green or Texas Red.

11. The composition of any of claims 6 or 7 wherein the detectable label is an MRI-imageable agent.

12. The protein, peptide or composition of claim 11 wherein said imageable agent is gadolinium.

13. A uPA active site-targeting compound that covalently modifies the active site of tcuPA or a fragment or subunit thereof, which fragment or subunit retains (i) the uPA enzymatic endosite and (ii) a uPAR-binding epitope, said compound including a

(a) detectable label;

(b) a therapeutic moiety; or

(c) a chelator that is optionally bound to a detectable label or a therapeutic moiety;

wherein the compound localizes said chelator, detectable label or therapeutic moiety to the uPA active site.

14. The compound of claim 13 which is an affinity label or a uPA-activated irreversible inhibitor.

15. The compound of claim 14 wherein said affinity label includes the alkylating group chloromethylketone (CMK).

16. The compound of claim 13 having a structure characterized by the general formula:

(Label)-$(Xaa)_{2-6}$-(Lys,Arg)-(alkylating group);

(Therapeutic moiety)-$(Xaa)_{2-6}$-(Lys,Arg)-(alkylating group);

$(Chelator_{(empty)})$-$(Xaa)_{2-6}$-(Lys,Arg)-(alkylating group); or

(Label-Chelator)-$(Xaa)_{2-6}$-(Lys,Arg)-(alkylating group).

wherein Xaa is any amino acid and the l0abel is a detectable label.

17. The compound of claim 16 wherein $(Xaa)_{2-6}$ is Glu-Gly.

18. The compound of claim 16 having the general formula:

$(Chelator_{(empty)})$-Glu-Gly-Arg-CMK; or

(Label-Chelator)- Glu-Gly-Arg-CMK.

19. A molecule comprising the uPA active site targeting compound of claim 13 or 16 bonded covalently to uPA, tcuPA or a fragment or subunit thereof,

which fragment or subunit retains (i) the uPA enzymatic endosite and (ii) a uPAR-binding epitope.

20. The molecule of claim 19 which has the general formula:

(Chelator$_{(empty)}$)-(Xaa)$_{2-6}$-(Lys,Arg)-(alkylating group)-uPA; or

(Label-Chelator)-(Xaa)$_{2-6}$-(Lys,Arg)-(alkylating group)-uPA.

21. A uPA active site-targeting peptide compound that binds to the endosite and one or more exosites of (i) tcuPA or (ii) a fragment or subunit of tcuPA, which fragment or subunit retains the uPA (1) enzymatic endosite and (2) a uPAR-binding epitope, such that said peptide compound covalently modifies the endosite; said peptide compound including

(a) a detectable label,

(b) a therapeutic moiety, or

(c) a chelator that is optionally bound to a detectable label or a therapeutic moiety;

wherein the peptide compound localizes said chelator, detectable label or therapeutic moiety to the uPA active site.

22. The peptide compound of claim 21 that has a structure defined by a general formula selected from the group consisting of:

(Label)-(Peptide Z)-(Xaa)$_{2-6}$-(Lys,Arg)-(alkylating group);

(Therapeutic Moiety)-(Peptide Z)-(Xaa)$_{2-6}$-(Lys,Arg)-(alkylating group),

(Chelator$_{(empty)}$)-(Peptide Z)-(Xaa)$_{2-6}$-(Lys,Arg)-(alkylating group); and

(Label-Chelator)-(Peptide Z)-(Xaa)$_{2-6}$-(Lys,Arg)-(alkylating group),

wherein, in the formula, the Label is a detectable label, Xaa is any amino acid and Peptide Z is any peptide that binds to a surface exosite of uPA.

23. A molecule comprising the peptide compound of claim 21or 22 bonded to the uPA endosite and to one or more exosites of

(a) uPA,

(b) tcuPA or

(c) a fragment or subunit of tcuPA that retain (i) the uPA enzymatic endosite and (ii) a uPAR-binding epitope.

24. A method for detecting the presence of uPAR (i) on the surface of a cell, (ii) in a tissue, (iii) in an organ or (iv) in a biological sample, which cell, tissue, organ or sample is suspected of expressing uPAR due to a pathological state, comprising the steps of:

(a) contacting the cell, tissue, organ or sample with the molecule or composition of claim 3 or 4;

(b) detecting the presence of the label associated with the cell, tissue, organ or sample.

25. A method for detecting the presence of uPAR (i) on the surface of a cell, (ii) in a tissue, (iii) in an organ or (iv) in a biological sample, which cell, tissue, organ or sample is suspected of expressing uPAR due to a pathological state, comprising the steps of:

(a) contacting the cell, tissue, organ or sample with the molecule or composition of any one of claims 13, 16, 21 or 22

(b) detecting the presence of the label associated with the cell, tissue, organ or sample.

26. The method of claim 24, wherein the contacting and the detecting are *in vitro*.

27. The method of claim 25, wherein the contacting and the detecting are *in vitro*.

28. The method of claim 24 wherein the contacting is *in vivo* and the detecting is *in vitro*.

29. The method of claim 25 wherein the contacting is *in vivo* and the detecting is *in vitro*.

30. The method of claim 24, wherein the contacting and the detecting are *in vivo*.

31. The method of claim 25, wherein the contacting and the detecting are *in vivo*.

32 The method of claim 30, wherein the detectable label is an MRI-imageable agent and the detecting is by MRI.

33. The method of claim 30, wherein the imageable agent is gadolinium.

34. A diagnostic or therapeutic uPAR-targeting pharmaceutical composition comprising:

(a) an effective amount of the protein or peptide of any of claims 1 or 2 to which is bound directly or indirectly a therapeutically active moiety or a detectable label; and

(b) a pharmaceutically acceptable carrier.

35. A diagnostic or therapeutic uPAR-targeting pharmaceutical composition comprising:

(a) an effective amount of the peptide of claim 21 to which is bound directly or indirectly a detectable label or a therapeutically active moiety; and

(b) a pharmaceutically acceptable carrier.

36. A diagnostic or therapeutic uPAR-targeting pharmaceutical composition comprising:

(a) an effective amount of the peptide of claim 23 to which is bound directly or indirectly a detectable label or a therapeutically active moiety; and

(b) a pharmaceutically acceptable carrier.

37. The pharmaceutical composition of claim 34 in a form suitable for injection.

38. The pharmaceutical composition of claim 35 in a form suitable for injection.

39. The pharmaceutical composition of claim 36 in a form suitable for injection.

40. A therapeutic pharmaceutical composition according to claim 34 wherein the therapeutically active moiety is a radionuclide.

41. A therapeutic pharmaceutical composition according to claim 35 wherein the therapeutically active moiety is a radionuclide.

42. The therapeutic pharmaceutical composition of claim 40, wherein the radionuclide is selected from the group consisting of ^{47}Sc, ^{67}Cu, ^{90}Y, ^{109}Pd, ^{125}I, ^{131}I, ^{186}Re, ^{188}Re, ^{199}Au, ^{211}At, ^{212}Pb and ^{217}Bi.

43. The therapeutic pharmaceutical composition of claim 41, wherein the radionuclide is selected from the group consisting of ^{47}Sc, ^{67}Cu, ^{90}Y, ^{109}Pd, ^{125}I, ^{131}I, ^{186}Re, ^{188}Re, ^{199}Au, ^{211}At, ^{212}Pb and ^{217}Bi.

44. A method for inhibiting cell migration, cell invasion, cell proliferation or angiogenesis, or for inducing apoptosis, comprising contacting cells associated with undesired cell migration, invasion, proliferation or angiogenesis with an effective amount of a therapeutic pharmaceutical composition according to claim 34.

45. A method for inhibiting cell migration, cell invasion, cell proliferation or angiogenesis, or for inducing apoptosis, comprising contacting cells associated with

undesired cell migration, invasion, proliferation or angiogenesis with an effective amount of a therapeutic pharmaceutical composition according to claim 35.

46. A method for inhibiting the invasiveness of tumor cells comprising contacting the cells with an effective amount of a therapeutic pharmaceutical composition according to claim 34.

47. A method for inhibiting the invasiveness of tumor cells comprising contacting the cells with an effective amount of a therapeutic pharmaceutical composition according to claim 35.

48. A method for treating a subject having a disease or condition associated with undesired cell migration, invasion, proliferation, or angiogenesis, comprising administering to the subject an effective amount of a pharmaceutical composition according to claim 34.

49. A method for treating a subject having a disease or condition associated with undesired cell migration, invasion, proliferation, or angiogenesis, comprising administering to the subject an effective amount of a pharmaceutical composition according to claim 35.